L Number	Hits	Search Text	DB	Time stamp
1	9737	546/119, 546/143, 546/153, 546/159, 546/255, 546/275.4, 546/275.7, 544/124, 544/360, 514/235.5, 514/253.01, 514/303, 514/314, 514/333, 514/338, 514/340	USPAT	2004/10/05 14:54
3	8289 33	GSK\$ or Aurora\$	USPAT USPAT	2004/10/05 14:55 2004/10/05 14:55



## PALM INTRANET

Day: Tuesday Date: 10/5/2004

Time: 14:50:30

# **Inventor Information for 10/736426**

Inventor Name	City	State/Country
BEBBINGTON, DAVID	NEWBURY	UNITED KINGDOM
CHARRIER, JEAN-DAMIEN	WANTAGE	UNITED KINGDOM
Appln Info Contents Petition Info	Atty/Agent Info	Continuity Data Foreign Data
Search Another: Application#   Search	0	r Patent# Search
Allogonous de provincia de la companya del companya de la companya de la companya del companya de la companya del la companya del la companya de la companya del la companya de la companya del la companya de la companya de la companya del la compan	or De	G PUBS #
PCT /	Search	rch
Attorney Docket #		Search
Bar Code #	Search	

To go back use Back button on your browser toolbar.

Back to  $|\underline{PALM}|$  |  $|\underline{ASSIGNMENT}|$  |  $|\underline{OASIS}|$  | Home page

Broad Search

10/736,426

Page 3

#### Match level :

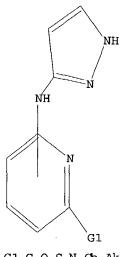
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 14:CLASS 15:CLASS

#### L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 ST



G1 C, O, S, N, Cb, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:53:31 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 817 TO ITERATE

100.0% PROCESSED 817 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 14626 TO 18054

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s 11 sss full

FULL SEARCH INITIATED 13:53:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16180 TO ITERATE

100.0% PROCESSED 16180 ITERATIONS

SEARCH TIME: 00.00.01

77 SEA SSS FUL L1

77 ANSWERS

5 ANSWERS

Habte

10/736,426

Page 4

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 155.42 155.63

FILE 'CAPLUS' ENTERED AT 13:53:44 ON 05 OCT 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15 FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 13 L3

=> d ibib abs hitstr tot

#### Page 5

L4 ANSWER 1 OF 13
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:391292
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:

LANGUAGE:

CAPLUS
2004:370926 CAPLUS
140:391292
Preparation of indazolinone compositions useful as kinase inhibitors
Alex: Lauffer, David J.; Li, Huan Qui;
Tomlinson, Ronald Charles; Li, Pan
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 260 pp.
CODEN: PIXXD2
LANGUAGE:
English
English

LANGUAGE

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

FALL	1110000111000																	
	PATENT	NO.				-	DATE								_			
						-									-			
	WO 2004	0378	14		A1		2004	0506	1	<b>NO 2</b>	003-	JS34	065		2	0031	027	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	ĊH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GΗ,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	
	LS, LT, LU					MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NO,	NZ,	OM,	PH,	
	PL, PT, RO,				RU,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	
		UG,	US,	UZ,	VN,	Yυ,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	
TM																		
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	ÐG,	
		CH,	CY,	CZ,	DE,	DK,	ΕE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	LU,	MC,	
		NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	
	GW, ML, MR,					SN,	TD,	TG										
	US 2004167121					A1 20040826				6 US 2003-694534					20031027			
PRIO	PRIORITY APPLN. INFO.:								1	US 2	002-	4213	98P		P 2	0021	025	

OTHER SOURCE(S):

The present invention provides compds. of formula (I). [Wherein R1, R2  $\pm$  H or a nitrogen protecting group; one of R3 or R4  $\pm$  R and the other one

R3 or R4 = -Q1-A-Q2-Y; wherein Q1 = a valence bond, NRa, C(Ra)2, S, O, SO2, NRaSO2, SO2NRa, CO, NRaCO, CONRa, OC(O), C(O)O, OC(O)NRa, 1,2-cyclopropanedilyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each

ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 685867-15-6 CAPIUS
CN 3H-Indazol-3-one, 6-[[6-([5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

Sesser-16-7 CAPLUS [[5-amino-6-[[5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-pyridinyl]amino]-1,2-dihydro- [9Cl) (CA INDEX NAME)

ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) optionally substituted C1-4 aliph.; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl. heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRC, S0,

NR7CONR7

ONRY,

shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

658867-13-4P, 6-[[6-[[5-cyclopropyl-1H-pyrazol-3-yl]amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-6P,

6-[[6-[[6-[C-cyclopropyl-1H-pyrazol-3-yl]amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-7P, 6-[[5-Amino-6-[[5-

cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-

one Ki: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indazolinone derivs, as kinase inhibitors for

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS On STN

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: 2004:220319 CAPLUS 140:253562

140:253562
Preparation of aminoindazoles as protein Tau phosphorylation inhibitors, their drugs and pharmaceutical compositions containing them for treatment, in particular, of central and peripheral nervous system diseases

INVENTOR (S):

nervous system diseases Lesuisse, Dominique; Dutruc-Rosset, Gilles; Halley, Franck; Babin, Didier; Rooney, Thomas Aventis Pharma S.A., Fr. PCT Int. Appl., 71 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: Patent French 2

LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO DATE WO 2004022544

WO 2004022544

W: AE, AG, AL

CO, CR, CU

GM, HR, HU

PG, PH, PL

TR, TT, TZ

KZ, MD, RU

RW: GH, GM, GM, LA

LG, LT, LJ

FG, LT, LJ

FG, HT, TZ

KZ, MD, RU

RW: GH, GM, ML, MR

FR 2844267

PRIORITY APPLN. INFO.: A1 20040318 WO 2003-FR2633 20030903
C1 2004042 20030903
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CM, CN, CU, CZ, DE, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LIJ, LV, MA, MD, MG, MK, MN, MW, MZ, MZ, NI, NO, NZ, OW, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TM, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ZM, AZ, BY, KG, TZ, UG, ZM, SW, SK, SL, SY, TJ, TM, TM, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ZM, AT, BE, BG, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, RO, SE, SI, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GQ, MR, NE, SN, TD, TG
A1 20040312 FR 2002-10962 A 20020905 FR 2002-10962 FR 2002-10962 20020905 A 20020905 US 2002-419965P P 20021022

OTHER SOURCE(S):

MARPAT 140:253562

L4

ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
peripheral nervous system diseases)
67049-54-9 CAPLUS
1H-Indazol-3-smine, 6-chloro-N-(6-methoxy-2-pyridinyl)-5-phenyl- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REFERENCE COUNT: 5 THERE ARE S CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

Title compds. I [wherein R3 = CONH2 and derivs., CO2H and derivs., SO2H and derivs., HC(:NH) and derivs., C(:NH)NH and derivs., (un)substituted aryl/heteroaryl/heterocyclo/cyclo/polycyclo/alkyl, hetero/aryl, fused

aryl
or heteroaryl, heterocyclyl, adamantyl, alkenyl, alkynyl; R2, R3 =
independently halo, CN, NO2, NH2, OH, CO2H and derive., NH2 and derive.,
COHH2 and derives, SS1 and derives, SS2H and derives, NHSCSH and derives,
CC3, OCT3, aryl/heteroaryl/cyclo/polkyl, alkoxy, hetero/aryl,
heterocyclyl, alkenyl, alkynyl, adamantyl, etc.; and their racemates,
enantiomers, disatereomers, mixts., tautomers and pharmaceutically
acceptable salta| were prepared as protein Tau phosphorylation
inhibitors.

Three standard pharmaceutical compns. are given. For example, II was
prepared.

prepared, in 7 steps, by acylation of 3-amino-6-chloro-1H-indazole with butyryl chloride, protection with [2-(trimethylsily])ethoxylmethyl chloride, bromination, Pd-cross coupling of the bromide with phenylboronic acid, amide hydrolysis, reductive alkylation of the 3-aminoindazole intermediate

rmediate
and deprotection. Selected invention compds. I inhibited phosphorylation
of protein Tau with an ICSO < 100 µM. Thus, I and their pharmaceutical
compns. are useful as kinase inhibitors and for treatment, in particular,
of central and peripheral nervous system diseases (no data).
670749-54-9P, (6-Chloro-5-phenyl-1H-indazol-3-yl)(6-methoxypyridin2-vllaming.

2-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(inhibitor of protein Tau phosphorylation; preparation of aminoindazoles as

protein Tau phosphorylation inhibitors for treatment of central and

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:736153 CAPLUS
DOCUMENT NUMBER: 137:247690

TITLE:

Preparation of bisarylamines as potassium channel openers

openers McNaughton-Smith, Grant A.; Amato, George S. Icagen, Inc., USA PCT Int. Appl., 73 pp. CODEN: PIXXD2 INVENTOR (S) :

PATENT ASSIGNEE(S): SOURCE:

Patent

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A1 WO 2002074388

W: AE, AG, AL,
CO. CR. CU,
GM, HR, HU,
LS, LT, LU,
PL, PT, RO,
UA, UG, US,
TJ, TM
RN: GH, GM, KE,
CY, DE, DX,
BF, BJ, CF,
US 2002193597
US 6553149
GB 2390091
PRIORITY APPLN: INFO:: WO 2002074388 20020926 WO 2002-US7744 20020315 A1 20020926 WO 2002-UST744 20020315 AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, RU, SD, SE, SG, SI, SK, SL, TI, TM, TN, TT, TT, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ES, FI, FR, GB, GR, IE, TT, LU, MC, CG, CI, CM, GA, GM, GQ, GW, MI, A1 20021219 US 2002-95617 A2 20031231 GB 2001-23676 ZW, NL, NE, AT, BE, FT, SE, SN, TD, GB 2003-23676 US 2001-277329P US 2002-95617 A 20020311 WO 2002-US7744

OTHER SOURCE(S):

MARPAT 137:247690

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; ring A = (un) substituted aryl, 5-6 membered heteroaryl; ring C = II-III (wherein Z = NR0, S, 0; D = N, CR1; Y = halo, R2, OR2; RO-R2 = H, alkyl); X = NR3, O, S; R3 = H, SO2R4, alkyl, cycloalkyl; R4 = alkyl, cycloalkyl), useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels, were prepared Thus, reacting benzoxacle IV with phenethylamine in DMSO afforded 57% V. Representative compds. I showed ECSO values from about 5 nM to about 10 pM in KCNQ potassium channel screening assay. More particularly, the invention provides bisarylamines, compns. and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizuzes, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory

ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) lose, learning deficiencies, anxiety and motor neuron diseases) and as neuroprotective agents (e.g., to prevent stroke and the like) by opening potassium channels assocd, with the onset or recurrence of the indicated 461043-70-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of hisarylamines as potassium channel openers)
461043-70-9 CAPLUS

1H-Indazol-3-amine, 7-fluoro-N-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX

REFERENCE COUNT:

L4	ANSWER 4 OF 13	CAPLUS C	OPYRIGHT 2004	ACS on STN	(Continued)
	ZA 2003001697	A	20040301	ZA 2003-1697	20030228
	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003001188	A	20030513	NO 2003-1188	20030314
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	
PRI	DRITY APPLN. INFO	.:		US 2000-232795	P 20000915
				US 2000-257887E	P 20001221
				US 2001-286949E	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US42152	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220
OTH	ER SOURCE(S):	MARPA'	T 136:247584		

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyridinyl, pyridizinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heteroaryl, heteroaryl, heterocyclyl; or carbocyclyl; 21 = N or CR9; Z2 = N or CR1; Z3 = N or CR5; Z4 = N or CR9; Z4 = N or CR5; Z4 = N or Z65; Z6 = Z65; Z6 =

having
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =

Habte

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS On STN ACCESSION NUMBER: 2002:220584 CAPLUS DOCUMENT NUMBER: 136:247584

Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease INVENTOR(S):

and Alzheimer's disease
Bebbington, David; Knegtel, Ronald; Golec, Julian M.
C.; Li, Pan: Davies, Robert; Charrier, Jean-Damien
Vertex Pharmaceuticals Incorporated, USA
PCT int. Appl., 356 pp.
CODEN: PIXXD2 PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

TITLE:

PAC	PENT	NO.			KIN	D	DATE			APP	LI	CAT	ION	NO.		D	ATE	
	2002																	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB	, 1	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE	, 1	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	ΜK,	MN	, 1	ΜW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD.	SE,	SG,	SI,	SK,	SL	, :	ΓJ,	TM,	TR,	TT,	TZ,	UA,	UG,
								AM,										
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ΑU	2001 2003 6638	0968	71		A5		2002	0326		ΑU	201	01-:	9687	1		2	0010	914
US	2003	0550	44		A1		2003	0320		US	200	01-	9535	05		2	0010	914
US	6638	926			B2		2003	1028										
US	2003	0649	81		A1		2003	0403	1	US	200	01-	9528	36		2	0010	914
US	6613	776			B2		2003	0902										
US	6638 2003 6613 2003 2003 6660	0649	82		A1		2003	0403		US	200	01-	9528	75		2	0010	914
US	3003	0736	87		A1		2003	0417	1	US	200	01-	95261	71		2	0010	914
US	6660	731			B2		2003	1209										
JS	6696 2003 6610	452			B2		2004	0224										
JS	2003	0833	27		A1		2003	0501	- 1	US	200	01-	9528	33		2	0010	914
US	6610	677			B2		2003	0826										
ΕP	1317																	
	R:													LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, 1	ΓR						
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ZA	2003	0017	03		A		2004	0302		ZA.	200	33 - 2	1703			26	010	914
JΡ	2004	5091	18		T2		2004	0325		JP	200	02-!	5268	51		21	0010	914
US	2004 2004 1345	0975	01		A1		2004	0520	-	US	200	1 - 1	9534	71		21	010	914
EΡ	1345	922			A1		2003	0924	- 1	EP.	200	01-2	2710	51		21	0011	219
	R:	ΑT,	BE,	CH,	DE,	DΚ,	ES,	FR,	GB,	GR	, 1	IT,	LI,	LU,	NL,	SE,	MC,	PT,
								MK,										
EΡ	1355																	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, 1	T,	LI,	LU,	NL,	SE,	MC,	PΤ,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, 1	r.						
ΝZ	5264 2004	72			A		2004	0430	1	NZ :	200	01-5	2641	72		26	0011	219
JР	2004	5187	43		T2		2004	0624		JP	200	12-!	5659	76		21	0011	219

ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (un) substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)280-2, C(R6)2NR6, CO, CO2, CR60CO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6COX, CR6:NNR6, CR6:NO, C(R6)2NR6CONR6, or CONR6; R = H or (un) substituted aliph. (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, CCCOR, COCR, COCR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2,

, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2N, Or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

NR4CO(R, NR4CO2(aliph.), NR4N(R4)2, C:NNI(R4)2, C:NNI, NR4CO(R4)2, NR4SO2R, Or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph, group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors e, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyll)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CR9]. Examples include data for approx. 300 invention compde, prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyll)-3-pyrazolamine II was prepd. and exhibited ki values of c 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404826-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl) maine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); RACT (Reactant) or reagent); USES (Usea) (protein kinase inhibitor: preparation of heterocyclylpyrazolamines and

analogs as protein kinase inhibitors for treatment of cancer,

diabetes,
and Alzheimer's disease)

RN 404826-24-0 CAPLUS

- Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

17 404829-63-69, (1H-Indazol-3-yl) (3-(2-trifluoromethylphenyl)isoquin oline-1-yl)amine 404829-65-89, (5,7-Difluoro-1H-indazol-3-yl) (3-(2-trifluoromethylphenyl)isoquinolin-1-yl)amine 404829-66-89, (1H-Indazol-3-yl) (2-phenylquinolin-4-yl) amine 404829-67-09, (2-Phenylquinolin-4-yl) amine 404829-68-19, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-1-yl)amine 404829-69-19, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl)amine 404829-70-59, (2-(2-Trifluoromethylphenyl)quinolin-4-yl)amine 404829-70-59, (2-(2-Trifluoromethylphenyl)quinolin-4-yl)amine (404829-70-59, (2-(2-Trifluoromethylphenyl)quinolin-4-yl)amine (404829-70-59, (2-(2-Trifluoromethylphenyl)quinolin-4-yl)amine (404829-70-59, (2-(2-Trifluoromethylphenyl)quinolin-4-yl)amine (404829-70-59, (2-(2-Trifluoromethyl)quinolin-3-yl)amine (404829-70-59), (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)amine (4-(2-Trifluoromethyl)quinolin-3-yl)ami

analogs as protein kinase inhibitors for treatment of cancer,

analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isaoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl](9CI)

(CA INDEX NAME)

ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS 4-Quinolinamine, M-IH-indazol-3-yl-2-{2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-{2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-66-9 CAPLUS CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

FORMAT

#### Page 9

PATENT INFORMATION

PA	TENT	NO.			KIN	D	DATE	:		APP.	LICAT	ION	NO.		D.	ATE	
wo	2002	0226	07		A1		2002	0321		WO :	2001-	US28	940		2	0010	914
	W :	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR.	BY.	BZ.	CA.	CH.	CN.
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD.	GE.	GH.
		GM,	HR,	HU,	ID,	IL,	ÍN,	IS,	JP,	KE	, KG,	KP,	KR,	KZ,	LC.	LK.	LR.
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO.	NZ.	PH.	PL.
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US	2003	0649	31		A1		2003	0403		JS 3	2001-	9528	36		21	0010	914
US	6613	776			B2		2003	0902		•	2001 - 2001 -						
US	2003	0649	32		A1		2003	0403	1	JS 2	2001-	9528	75		20	0010	914
US	2003	0736	37		A1		2003	0417	1	JS 2	2001 -	9526	71		20	0010	914
US	6660	731			B2		2003	1209									
US	2003	0781	56		A1		2003	0424	ι	JS 2	2001-	95560	1		20	0010	914
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US	2003	0833	27		A1		2003	0501	ι	JS 2	2001-	95283	33		20	0109	914
US	6610	577			B2		2003	0826									
BR	2001	01408	38		A		2003	0617	F	3R 2	001 -	14088	3		20	00109	914
EΡ	1318	997			A1		2003	0618	E	SP 2	2001 - 2001 - 2001 -	97108	32		20	0109	914
	к:	AT,	BE,	CH,	υE,	DK,	ES,	FR,	GB,	GR,	IT,	LI.	LU,	NL,	SE,	MC,	PT,
											TR						
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ZA	20030	00170	33		Α		2004	0302	2	:A 2	003-	1703			20	0109	914
JР	20045	50911	7		T2		2004	0325	Č	FP 2	002-	52686	0		20	0109	14
US	2004	39750	)1		A1		2004	0520	t	JS 2	001-	95347	71		20	0109	914
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
NZ	52647	72			A	- 2	20040	2430	N	Z 2	001-9	32647	2		20	0112	19

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
heteroaryl, heterocyclyl, or carbocyclyl; 21 = N or CR9; 22 = N or CR1; 23
- N or CR7; 24 = N or CR9; Rx and Ry = independently TR3, or taken
together with their intervening atoms form an (un)satd. fused ring having
1-3 ring heteroatoms; R2 and R2 = independently R, TWRG; or CREAR2a =
(un)substituted fused ring contg, 0-3 heteroatoms; T = a bond or
alkylidene chain; W = C(R6)20, C(R6)200-2, C(R6)2NR6, CO, CO2, CR6CCO,
CRGOCONR6, C(R6)2NR6CO2, CR6; SNR6, CR6: ND, C(R6)2NR6NR6,
C(R6)2NRSO2NR6, C(R6)2MR6CO3, CR6: CR6)2NR6, or CONR6; R = H or (un)substituted
aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, 0, or, COR,
CO2R, COCOR, COCHZCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2,

, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7. CO2(aliph.),

R7)202R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCR, CO2R, CO2R, COCR, CO2R, exhibited

Oited

Ki values of < 0.1 µM for glycogen synthetase kinase 3β

(GSK-3β) and 0.1·1.0 µM for Aurora-2.

404836-24-09 404826-25-1P. (5-Methyl-2H-pyrazol-3-yl)(3-phenylisogouinolin-1-yl)amine

RL: PAC (Pharmacological activity); RCT (Reactant), SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analogs of r.
diabetes,
and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

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L4	ANSWER 5 OF 13	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
	JP 2004518743	T2	20040624	JP 2002-565976	20011219
	JP 2004519479	Т2	20040702	JP 2002-567928	20011219
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	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
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	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
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	NO 2003002704	A	20030821	NO 2003-2704	20030613
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	US 2004167141	<b>A</b> 1	20040826	US 2004-775699	20040210
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				US 2000-257887P	P 20001221
				US 2001-286949P	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28940	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	Al 20011220

OTHER SOURCE(S): MARPAT 136:247583

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404826-25-1 CAPLUS 1-1Boquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CAINDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-69, (1H-Indazol-3-yl) [3-(2-trifluoromethylphenyl)] soquin oline-1-yl]amine 404829-65-89, (5.7-Difluoro-1H-indazol-3-yl) [3-(2-trifluoromethylphenyl)] soquinolin-1-yl]amine 404829-66-99, (2H-Indazol-3-yl) [4-phenylquinolin-4-yl]amine 404829-66-99, (2-Phenylquinolin-4-yl) [4H-pyrazolo(4,3-b]pyridin-3-yl] amine 404829-69-1P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)] quinolin-4-yl] amine 404829-69-1P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl] (1H-pyrazolo(4,3-b]pyridin-3-yl) [amine 404829-69-1P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl] (1H-pyrazolo(4,3-b]pyridin-3-yl) [amine 404829-69-1P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl] (2H-pyrazolo(4,3-b]pyridin-3-yl) [3H-PAC (Pharmacological activity); SPN (Synthetic preparation); USES (Usea) (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and

analogs as protein kinase inhibitors for treatment of cancer.

analogs 50 (
diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-IH-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404829-65-8 CAPLUS
1-Imaguinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-3-{2-(trifluoromethyl}phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
4-001001lnamine, N°1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS

4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404829-70-5 CAPLUS
4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR

FORMAT

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RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS
4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:220582 CAPLUS DOCUMENT NUMBER: 136:247562 PRADICTION OF THE PROPERTY NUMBER: 1700 PRADICTION

INVENTOR (S):

136:247552
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, pan; Wannamaker, Marion; Forster, Cornelis; Pierce, Albert Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 355 pp. CODEN: PIXXD2
Patent English

PATENT ASSIGNEE(S): SOURCE:

English 14

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003022606 A1 20020321 W0 2001-US28803 20010914

W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GH, GD, GE, GH, GM, HR, HU, ID, LL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PR, PL, FT, RO, RU, SU, SE, SE, SS, SI, SK, SK, SI, TJ, TM, TR, TT, ZU, UN, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, JT, MB, CH, GM, KE, LS, MM, MX, SD, SL, SZ, TZ, UG, RW, AT, BE, CN, CY, DE, DK, ES, FI, FR, GB, GR, IE, LT, LU, MC, NIL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GM, ML, MR, NE, SN, TD, TG

AU 2001090944 A5 20020136 US 2001-953805 20010914

US 6618926 B2 200310328

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US 66606771 B2 20030424 US 2001-952801 20010914

US 6660677 B2 20030424 US 2001-952831 20010914

US 6606077 B2 20030424 US 2001-952831 20010914

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US 20030718166 A1 2003061 US 2001-952831 20010914

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US 2003071816 A1 2003061 US 2003-952831 20010914

US 2003071816 A1 2003061 US 2003-952831 20010914

US 2003071816 A1 20030 PATENT NO. DATE 20020321 KIND APPLICATION NO. DATE

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L4	ANSWER 6 OF 13	CAPLUS	COPYRIGHT 2004		(Continued)
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
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	US 2004157893	A1	20040812	US 2003-722374	20031125
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	US 2004167141	A1	20040826	US 2004-775699	20040210
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				US 2001-286949F	P 20010427
				US 2001-955601	A3 20010914
				WQ 2001-US28803	W 20010914
				US 2001-26966	Al 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S):

MARPAT 136:247582

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)aubstituted Ph, pyridinyl, pyridazinyl, pyrazinyl, or 1, 2,4-triazinyl, Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heteroaryl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CR; Z3 = N or CR9; Z4 = N or CR9; Z4

ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
404826-25-1 CAPLUS
1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-69, (1H-Indazol-3-yl) (3-(2-trifluoromethylphenyl))soquin
oline-1-yl]amine 404829-65-8P, (5,7-bifluoro-1H-indazol-3-yl) [3-(2-trifluoromethylphenyl)]soquinolin-1-yl]amine 404829-69-99,
(1H-Indazol-3-yl) (2-phenylquinolin-4-yl) lamine 404829-67-09,
(2-Phenylquinolin-4-yl) [1H-pyrazolo[4, 3-b]pyridin-3-yl)]amine
404829-68-1P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin
1-4-yl]amine 404829-69-1P, (5,7-bifluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl) [1H-pyrazolo[4,3-b]pyridin-3-yl)
amine
RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological atudy); PREP (Preparation); USES
(Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analogo e .

diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

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ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or CZR2R2a = (un) substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)205-2. C(R6)2NR6, CO. CQ. CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CONR6, C(R6)2NR6CONR6, OR = H or (un) substituted aliph.. (heterolaryl, or heterocyclyl ring; R3 = R, halo, 0, OR, COR, CO2R, COCOR, COCCOR, COCCOR,

CORR, COCOR, COCH2COR, NO2, CN, S00-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO(R4)p). NR4N(R4)2, C:NN(R4)2, C:NNR, NR4CO(R4)2, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.).

CON(R7)2.

OT SO2R7; Or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7'= independently H or (un) substituted aliph, group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, COCO, COR, CCCO, Were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alphaimer's disease. Claims cover (pyrimidinyl) pyrazolamines and indazolamines I (wherein Z1 and Z2 = N; Z3 = CRX; Z4 = CRY, G = Ring D1. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited (GSK-3B) and 0.1-1.0 µM for Aurora-2.

IT 404846-24-09 404824-35-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)smine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); RACT (Reactant or reagent); USES (Uses)

(Preparation); RACT (Reactant or reagent); USES (Uses)

(protein kinase inhibitor; preparation of theterocyclylpyrazolamines and

and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 13
ACCESSION NUMBER:
DOCUMENT NUMBER:
116:347581
TITLE:
TITLE:
TITLE:

INVENTOR(S):
Golec. Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan Vertex Pharmaceuticals Incorporated, USA
PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
COORN:
PATENT ASSIGNEE(S):
FOR INCORPORATION OF PIXXD2
POCUMENT TYPE:
LANGUAGE:

COORN:
PATENT ASSIGNEE(S):
FOR INCORPORATION OF PIXXD2
FOR INCORPORATION OF PIXXD

FAMILY ACC. NUM. COUNT: PATENT INFORMATION.

PA	TENT	NO.			KIN	D	DATE			APPI	ICA.	LION	NO.		D	ATE	
	2002	0226	05		A1		2002	0321		wo a	2001	US28	793		2	0010	914
	W;	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG.	BR,	BY,	BZ,	CA,	CH.	CN.
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE.	ES.	FI.	GB.	GD.	GE.	GH.
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG.	KP.	KR.	KZ.	LC.	LK.	LR.
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW.	MX.	MZ.	NO.	NZ.	PH.	PL.
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,
		US,	UΖ,	VN,	YU,	ZA,	Z₩,	ΑM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM	
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	ŞL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	PΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
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US	6638	926			B2		2003	1028									
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US	6613	776	• •		B2		2003	0902									
U.S	2003	0649	82		Al		2003	0403		US 2	001-	9528	75		2	0010	914
110	2003 6638 2003 6613 2003 2003 6660 2003	771	8 /		VI		2003	0417		US 2	001-	9526	71		2	0010	914
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US	2003 2004 2004 1345	0975	01		A1		2004	0520	t	JS 2	001-	9534	71		20	0010	914
ΕP	1345	922			A1		2003	0924	E	EP 2	001-	2710	51		20	00112	219
	R:	м,	BE,	CH,	DE,	DK,	ES.	FR.	GB,	GR,	IT,	LI,	LU,	NL.	SE.	MC.	PT.
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
EΡ	13559				A1		2003	1029	ŧ	EP 2	001 -	27386	51		20	0112	219
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT.
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
١Z	52647	72			A		20040	0430	ŀ	IZ 2	001-	5264	12		20	0112	19
J P	20045	1874	13		T2		20040	0624	ċ	IP 2	002 -	56591	76		20	0112	19
JP	20049	194	79		T2		20040	0702	ċ	IP 2	002-	56792	28		20	0112	119
۵A	20030	0169	,,		A	- 1	20040	3301	2	A 2	003 -	1697			20	0302	28
4A	20030	0169	19		A	-	20040	3301	2	A 2	003 -	1699			20	10302	28
	20045 20045 20030 20030 20030 20030	10170	,2		A	- 3	20040	301	2	A 2	003-	1702			20	0302	28
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L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo(4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4	ANSWER 7 OF 13	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	Al	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	
PRIO	RITY APPLN. INFO	. :		US 2000-232795F	P 20000915
				US 2000-257887F	P 20001221
				US 2001-286949P	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28793	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247581

Title compds. I (wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl, 21 = N or CR9; Z2 = N or CH; Z3 = N or CR; Z4 = N or CR9; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring

together with their intervening atoms toim an ton, settled a having
1-3 ring heteroatoms, R2 and R2a = independently R, TWR6; or C222R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR60CO, CR60CONR6, C(R6)2NR6CO, CR61NDR6, CR6:ND, C(R6)2NR6R6, CG, CR6:NDR6, CR6:ND, C(R6)2NR6R6, CG, CR6:NDR6, CR6:ND, C(R6)2NR6R6, CG, CR6:NDR6, CR6:ND, CR6:NDR6, CR6:ND, CR6:NDR6, CR

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2,

NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON (R7) 2.

ARSOZERNA), NewSoZER, OF OLON(NA); NA = N7, CDZ(Aliph.),
R712,
or SOZR7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (unjaubatituted aliph. group; or N(R6)2 = heterocyclyl
or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,
COR, COZR, COCOR, etc.) were prepd. as protein kinase inhibitors, egp. as
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
diabetes, and Alzheimer's disease. Claims cover pyrazolamines and
indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 =
N, at least one of Z1 or Z3 = N1. Examples include data for approx. 300
invention compda. prepd. by a variety of synthetic methods and bioaseasy
results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. Por
instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and
bited exhibited

bited

Ki values of < 0.1 µM for glycogen synthetase kinase 3B

(GSK-3B) and 0.1-1.0 µM for Aurora-2.

404836-24-09 404836-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenyliaoguinolin-1-yl)amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Usea)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease) 404826-24-0 CAPLUS

4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404829-65-8 CAPLUS 1-Image (Capacitan Capacitan Capacita

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

404829-66-9 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS
4-Quinolinamine, 2-phenyl-N-1H-pyrazolo(4,3-b]pyridin-3-yl- (9CI) (CA

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-69, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin
oline-1-yl]amine 404823-65-89, (5,7-Difluoro-IH-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-65-89,
(1H-Indazol-3-yl) (2-phenylquinolin-4-yl)amine 404829-67-09,
(2-phenylquinolin-4-yl)[4]-yeazol[6]-8-b]pyridin-3-yl)amine
404829-68-19, (1H-Indazol-3-yl)]2-(2-trifluoro-IH-indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl)amine 404829-70-59,
(2-(2-Trifluoromethylphenyl)quinolin-4-yl)amine 404829-70-59,
(2-(2-Trifluoromethylphenyl)quinolin-4-yl) (IH-pyrazolo[4,3-b]pyridin-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

and
analogs as protein kinase inhibitors for treatment of cancer,
diabetes,
and Alzheimer's disease)
RN 40429-63-6 CAPLUS
CN 1-1soquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl](9C1)

CN (9CI)

(CA INDEX NAME)

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-70-5 CAPLUS
4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 8 OF 13 C/
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JP 2004518743
JP 2004518979
ZA 2003001699
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ZA 2003001702
ZA 2003001704
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NO 2003001190
NO 2003002704
US 200416454
US 2004157893
US 2004157893
US 2004167141
PRIORITY APPLN. INFO:: COPYRIGHT 2004 ACS ON STN

20040624 JP 2002-565976
20040762 JP 2002-5659782
20040301 ZA 2003-16599
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20040301 NO 2003-1390
20030621 NO 2003-2704
20040617 US 2003-692355
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US 2004-775699
US 2000-232795P US 2000-257887P US 2001-286949P P 20010427 US 2001-955601 A3 20010914 WO 2001-US28792 W 20010914 US 2001-26966 A1 20011219 WO 2001-US49139 W 20011219 WO 2001-US50312 W 20011219 US 2001-34019 A3 20011220 US 2001-34683 A1 20011220 OTHER SOURCE(S): MARPAT 136:247606

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2002:220580 CAPLUS MENT NUMBER: 136:247606 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

PARTIES APPLIES

136:247606

Preparation of 3-(4-pyrimidinylamino)pyrazole
derivatives as protein kinase inhibitors, especially
of Aurora-2 and GSK-3, for treating cancer, diabetes
and Alzheimer's disease
Davies, Robert; Bebbington, David, Binch, Haley;
Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay;
Charrier, Jean-Damien; Kay, David; Davies, Robert
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 357 pp.
CODEN: PIXXD2
Patent

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

WO 2002022604 A1 20020321 WO 2001-US28792 B2 C C C R C C U C Z D E D K D M D Z C C E E E S F I G B, G M G M HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LL LS, IT, LU, LV, MA, MD MG, MK, MN MM, MZ, MO, MD PT, RO RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TT, US, US, UZ, VN, VU, ZA, ZM, AM, AZ, EY, KG, KZ, MD, RU, TL, TM, C M,	DATE	D		NO.	ION	I CAT	APPL			DATE	D	KIN			NO.	TENT :	PA'
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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GI GM, HR, HU, ID, III, IN, IS, JP, KE, KG, KP, KR, KZ, LV, LS, LT, LU, LV, NA, MD, MS, MK, MN, MM, MX, MZ, NO, NY, PT, RO, RU, SD, SE, SG, SI, SK, SL, TI, TM, TR, TT, TY, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TX, BD, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SI, BJ, CF, CG, CI, CM, GA, GM, GO, GW, ML, MR, KM, SM, NW, FR, SN, TI AU 2001044558  BJ, CF, CG, CI, CM, GA, GM, GO, GW, ML, MR, KM, SM, TI AU 2001044558  BS 200305044  A1 20030320  BS 2003064981  A1 200300020  BS 200307687  A1 200300017  B2 200300017  B2 200300178  B2 200300179  B2 200300178  B2 200300179  B2 200300178  B2 200300179  B2 200300169  B2 200300178  B3 200300178  B4 200300178  B5 200300178  B6 60731  B7 200300178  B7 200300178  B8 200300178  B9 200300178  B1 200300178  B2 2003001781																	m U
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR EP 1355905 A1 20031029 EP 2001-273861	20011219	CE.	MIT	711	7.1	TT	GD.	CB	FD	ES	DK	DE	CH	BE.	AT.	В.	
EP 1355905 A1 20031029 EP 2001-273861	, MC, P1,	SE,	MD,	LU,	ы,	mn,	NI.	CV,	MV.	BO,	DI.,	TV.	LT	ST.	TF.		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT. LI. LU. NL. SF					22204											1355	ED
	20011219	20		111	6/386	/U.L	CD Z	CD	ED 1073	EC 03	Dν						
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	, MC, PT,	SE,	иL,	ъ0,	ы,	TD,	NI.	CV.	EK,	PO.	ET.	IV.	LT.	SI.	TE,		
NZ 526472 A 20040430 NZ 2001-526472																5264	MZ

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The preparation of title compds. I and their pharmaceutically acceptable salts

or prodrugs is described [wherein: Rl. R2 = dependently form (un)substituted fused, unsatd. or partially unsatd. 5-8 membered carbocyclo ring; Rl. R4 = independently H. aliphatic, aryl. heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently from a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N. S. O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N. S. O)]). For example, chlorination of quinazolone II with phosphorus oxychoride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. 1 are inhibitors of GSK-3 and Autora-2 protein kinasea. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3B (163 compds.), ART (no data), and Human Src kinase (21 compds.). Claime included 146 specific compds., and Human Src kinase (21 compds.). Claime included 146 specific compds., and 188 examples were given. The syntheses

of 6 compds. and 46 intermediates are described.

included 146 specific compuse, who are described of 6 compds and 46 intermediates are described.

IT 404826-24-0P 404826-51P 404829-63-69 404829-63-0P 404829-65-P 404829-P 404829-65-P 404829-P 40482

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase

inhibitors)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404826-24-0 CAPLUS 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-1soquinolinamine, N-(5-methyl-1H-pyrazoI-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-63-6 CAPLUS
CN 1-lacquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-(9C1)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

404829-68-1 CAPLUS
4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-lH-indazol-3-y1)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-[trifluoromethyl]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 9 0F 13 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:220579 CAPLUS COCUMENT NUMBER: 136:247580 DOCUMENT NUMBER: TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease Davies, Robert; Li, Pan; Golec, Julian; Bebbington, Davies. INVENTOR(S): Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 406 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE		APPLICATION NO.							ATE	
						-									-		
WO	2002	0226	03		A1		2002	0321	. 1	WQ 2	001-	US28	738		2	0010	914
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
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US	6638	926			B2		2003	1028									
US	2003	0649	81		A1		2003	0403		JS 2	001-	9528	36		2	0010	914
US	6613	776			B2		2003	0902									
US	6638 2003 6613 2003	06491	82		Al		2003	0403	1	JS 2	001-	9528	75		2	0010	914
US	20030	37361	B7		A1		2003	0417	1	IS 21	001-	9526	71		21	2010	914
US	6660	731			B2		2003	1209									
US	6660° 20030 6696	781	56		A1		2003	0424	τ	JS 21	001-	9556	01		21	0010	914
US	66964	152			B2		2004	0224									
US	2003	08332	27		A1		2003	0501	ι	JS 20	001-	9528	3.3		21	0010	914
US	66106	577			B2		2003	0826									
EP	13174	47			A1		2003	0611	E	EP 26	001-	9709	69		20	0010	914
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		IΕ,	SI,	LT,	LV,	ΡI,	RO,	MK,	CY,	AL,	TR						
ZA	20030 20030	0170	01		Α		2004	0301	2	ZA 2(	003-	1701			20	010	914
ZA	20030	0170	23		Α		2004	0302	2	A 20	003-	1703			20	010	914
UŞ	20040	9750	31		A1		20046	0520	- 1	15 20	001-	9534	71		20	0010	214
JP	20045	2507	75		T2		2004	0819	· c	JP 20	002-	52689	56		20	010	914
ΕP	20045 13459	22			A1		20030	0924		P 20	001-	2710	51		20	0112	219
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		ΙE,	ŞI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
EP	13559	05			Αı		2003	1029	E	EP 20	001-	27386	51		20	0112	219
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		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
NZ	52647 20045	2			Α		20040	3430	N	IZ 20	001-	52647	72		20	0112	219
JР	20045	1874	13		T2		20040	1624	J	IP 20	102 - !	6597	76		20	0112	219
JP	20049	1947	79		T2		20040	702	J	P 20	002 - 9	6792	88		20	0112	19

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued) together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWRS; or CZRZR2 = (un)substituted fused ring contg. 0.3 heteroatoms; T = a bond or alkylidene chain, W = C(Rs)ZO, C(RS)ZSO-2, C(RS)ZNRS, CO, COZ, CR6COO, CR6COO, C(RS)ZNRSCO), C(RS)ZNRSCO), C(RS)ZNRSCO, COZ, COZ, CNRSCO, R = H or (un)substituted aliph. (heterolaryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, COZR, COCCR, COCH2COR, NOZ, CN, SOO-2R, N(R4)2, CON(R4)2, SOZN(R4)2,

COCR, COCOR, COCCR, NOZ. CN. SOO-ZR, N(R4)2, CON(R4)2, SOZM(R4)2, OCCR,
NR4COR, NR4CO2(aliph.), NR4N(R4)2, CNN(R4)2, CNNOR, NR4CO(R4)2,
NR4SOZN(R4)2, NR4SOZR, or OCCNN(R4)2; R4 = R7, COR7, CO2(aliph.),
CON(R7)2,
or SOZR7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (un) substituted aliph. group; or N(R6)2 = heterocyclyl
or heterosryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,
COR, COZR, COCOR, etc.] were prepd. as protein kinase inhibitors, egp. as
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
diabetes, and Alzheimer's disease. Claims cover (triazinyl) pyracolamines
and indazolamines I (wherein 21, Z2, and Z3 = N; Z4 = CRy). Examples
include data for approx. 300 invention compds prepd. by a variety of
synthetic methods and bioassay results for the inhibition of GSK-β3,
Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3pyrazolamine II was prepd. and exhibited Xi values of < 0.1 μM for
GIYcogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for
Aurora-2.

glyCogen SyntheLawe Kinaue 39 (53-39) and 51-15 p. 50
ANDERS-24-0P 404826-25-1P, [5-Methyl-2H-pyrazol-3-yl) [3phenylisoquinolin-1-yl]amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological atudy); PREP
(Freparation); RACT (Reactant or reagent); USSS (Usea)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analogs so p--diabetes,
and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

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L4	ANSWER 9 OF 13	CAPLUS	COPYRIGHT 2004	ACE on CTN	(0
	ZA 2003001697	A	20040301	ZA 2003-1697	(Continued)
	ZA 2003001697	Ä			20030228
			20040301	ZA 2003-1699	20030228
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRI	ORITY APPLN. INFO	. :		US 2000-232795	P P 20000915
				US 2000-257887	P 20001221
				US 2001-286949	P 20010427
				US 2001-955601	A3 20010914
				***************************************	20010714
				WO 2001-US2873	W 20010914
				+001 051073	, w 2001091 <b>4</b>
				US 2001-26966	A1 20011219
				03 2001-20966	MI 20011219
				WO 2001-US4913	W 20011219
				#U 2001-054913	W 20011219
				NO 0000 NOTOO	
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247580

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heteroaryl, heteroaryl, heteroaryl, to CR; Z1 = N or CR; Z3 = N or CR; Z4 = N or

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-6P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin
oline-1-yl]amine 404829-65-8P, (5,7-bifluoro-H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-65-19,
(1H-Indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-0P,
(2-phenylquinolin-4-yl)[4] (4-phenylquinolin-4-yl)amine 404829-67-0P,
(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P,
(2-Trifluoromethylphenyl)quinolin-4-yl](1H-pytazolol4,3-b)pytidin-3-yl)[2-(2-Trifluoromethylphenyl)quinolin-4-yl](1H-pytazolol4,3-b)pytidin-3-yl)amine
RN: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)
(protein kinase inhibitor; preparation of heterocyclylnyragolamines

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analogs of ...

diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS
CN 1-18oquinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-3-[2-

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS On STN (trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME) (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-66-9 CAPLUS CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-J-yl- (9CI) (CA INDEX NAME)

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-{2-(trifluoromethyl)phenyl}. (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

our work

L4 ANSWER 10 OF 13
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:263164
Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S):
Bebbington, David, Knegtel, Ronald; Binch, Haley;
Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 377 pp.
CODEN: PIXXD2
PATENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
14

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.			KIN	ND DATE				APF	ric	AT:	DATE							
WO	2002 2002	0226	02		A2		2002	0321		wo	200	1-1	JS42	162		2	0010	914
WO	2002	0226	02		A3		2002	0627										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BE	3. B	G.	BR.	BY.	BZ.	CA.	CH.	CN
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	. E	Ε.	ES.	FI.	GB.	GD.	GE.	GH
		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE	. K	G,	KP,	KR,	KZ,	LC,	LK,	LR.
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	і, м	W,	MX,	MZ,	NO,	NZ,	PH,	PL.
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL	, T	J,	TM,	TR,	TT,	TZ,	UA,	UG
		US,	UZ,	VN,	YU,	ZA,	ZW,	ΑM,	ΑZ,	BY	, к	G,	ΚZ,	MD,	RU,	TJ,	TM	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	. т	z,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	E5,	FI,	FR,	GB,	GR,	IE,	IT	, L	υ,	MC,	NL,	PT,	SE,	TR,	BF.
		ВЛ,	CF,	CG,	CI,	ÇΜ,	GA,	GN,	GQ,	GW	, M	L,	MR,	NE,	SN,	TD,	TĢ	
AU	2001	0968	/5		A5		2002	0326		ΑU	200	1-9	9687	5		2	0010	914
105	2003	0550	44		A1		2003	0320		US	200	1 - 9	9535	05		2	0010	914
05	6638 2003	925			82		2003	1028										
US ITC	6613	776	81		N1		2003	0403		US	200	1 - 9	9528	36		2	0010	914
110	6613 2003	0640	0.0		D2		2003	0902										
115	2003 2003	0776	02		N1		2003	0403		US	200	1-9	9528	75		2	0010	914
16	6660	721	0,		D2		2003	1200		US	200	1 - 5	526	/1		2	0010	914
ıs	6660 2003	0781	66		21		2003	1209		110	200					_		
ıs	6696	452	••		B2		2003	0324		US	200	1-5	15561	0.1		2	0010	914
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JS	6610	677	-		B2		2003	0826		US	200	4-3	320.	3.3		21	0010	914
EΡ	1318	814			A2		2003	0618		EP	200	1 - 0	7776	2.2		2.0	0010	014
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ZΑ	2003	0017	01		A		2004	0301		ZA	200	3 - 1	701			20	0010	914
A.S	2003	0017	03		A		2004	0302		ZA	200	3 - 1	703			20	0010	914
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ΞP	2003 2003 2004 2004 1345	922			A1		2003	0924		EΡ	200	1-2	7106	51		20	0011	219
	R:	Λι,	DE,	Cn,	DE,	DK,	ES.	PR,	GB.	GR	. 17	г.	LI.	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TF	3						
εÞ	1355	905			A1		2003	1029	1	EP	2001	1 - 2	7386	1		20	0011	219
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		IE,	SI,	LT,	ĽV,	ΡI,	RO,	MK,	CY,	ΑL	, Т	3						
ız.	5264 2004 2004 2003 2003 2003	/∡			A		2004	0430	1	NZ .	2001	L - 5	2647	12		20	0011	219
15,	2004	51874	13		T2		20040	0624		JP:	2002	2 - 5	6597	16		20	00112	219
15	2004	21947	79		12		20040	0702		JP :	2002	2 - 5	6792	8		20	00112	19
	20031	0016	,,		Α.		20040	3301	- 3	ZA :	2003	3 - 1	697			20	00302	228

L4	ANSWER 10 OF 13			04 ACS on STN	(Continued)
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIC	ORITY APPLN. INFO.	:		US 2000-232795P	P 20000915
				US 2000-257887P	P 20001221
				US 2001-286949P	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US42162	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220
OTHE GI	R SOURCE(S):	MARP	AT 136:263164		

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CR; R9 is defined above). Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioasasay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-H1-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-β3) and 1.0-20 μM for Aurora-2.
404636-24-0F 404836-25-IP, (5-Methyl-2H-pyrazol-3-yl) (3-phenylisoguinolini-1yl)amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation); PACT (Reactant or resgent); USES (Uses) (protein kinase inhibitor; preparation of triazolamines, 20lamines, and

pyrazolamines, and

analogs as protein kinase inhibitors for treatment of cancer,

diabetes. and Alzheimer's disease) 404826-24-0

4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE IT 404829-63-6P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin Habte

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Triazolamines I and pyrazolamines II (wherein G \* Ring C or Ring D; Ring

= (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or catboocyclyl; Z1 = N or CR9; Z2 = N or CR1; Z3 = N or CR2; Z4 > N or CR3; Z4 > N or

halo, O.R. COIR, COZR, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SOZN(R4)2, OCOR, NR4COR, NR4COR(a); NR4COR(a); NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, RASOZN(R4)2, NR4SOZN(R4)2, RASOZN(R4)2, RASOZN(

ANSWER 10 OP 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oline-1-yl}amine 404839-65-89, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404839-66-99, (1H-indazol-3-yl)[2-phenylquinolin-4-yl)amine 404829-66-99, (2-Phenylquinolin-4-yl) [1H-pyrazolol(4,3-b]pyridin-3-yl)amine 404839-68-19, (1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-1-4-yl]amine 404829-69-29, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404839-70-5p, (2-(2-Trifluoromethylphenyl)quinolin-4-yl]amine 404839-70-5p, (2-(2-Trifluoromethylphenyl)quinolin-4-yl]amine fo4639-70-5p, (2-(2-Trifluoromethylphenyl)quinolin-4-yl]amine fo4639-70-5p, (2-(2-Trifluoromethylphenyl)quinolin-4-yl]amine fo4639-70-5p, (2-(2-Trifluoromethylphenyl)quinolin-4-yl] (IH-pyrazolo[4,3-b]pyridin-3-yl]amine fiberationethylphenyl)quinolin-4-yl]ylamine fiberationethylphenyl gyotokin single inhibitor: prepn. of trigzolamines for pyrazolamines for trigzolamines for

ses) (protein kinase inhibitor; prepn. of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

(CA INDEX NAME)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404429-65-8 CAPLUS
1-lacquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (CONtinued) ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

CAPLUS

-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA

CAPLUS

4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-y1-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:220577 CAPLUS DOCUMENT NUMBER: 136:247579 PROPERTY.

Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alsheimer's diesse Knegtel, Ronald: Bebbington, David: Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Porster, Cornelia; Pierce, Albert Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 376 pp. CODEN: PIXXD2
Patent English INVENTOR (S):

PATENT ASSIGNEE (S) : SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

MO 2002022601 A1 20020321 W0 2001-US28740 20010914

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, ILI, IN, IS, JP, EK, GK, EY, RR, KZ, LC, LK, LR, PT, RO, RU, SD, SE, SG, SI, SK, SK, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, TT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, IC, CM, GA, GN, GO, CW, ML, MR, NE, SN, TD, TG

AU 2001090914 A5 20020326 AU 2001-99314 20010914

US 2003055044 A1 20030320 US 2001-993185 20010914

US 2003055044 A1 20030320 US 2001-993286 20010914

US 6613776 B2 20031028

US 2003064991 A1 200304017 US 2001-952875 20010914

US 6613776 B2 20030402 US 2001-952875 20010914

US 6613776 B2 20030402 US 2001-952875 20010914

US 6616177 B2 200304024

US 2003033327 A1 20030401 US 2001-952833 20010914

US 6616677 B2 20030826

EP 1317444 A1 20030611 EP 2001-970971 20010914

ZA 2003001701 A 20040301 US 2001-952833 20010914

ZA 2003001701 A 20040302 US 2001-952833 20010914

ZA 2003001701 A 20040302 US 2001-952860 20010914

ZA 2003001701 A 20040302 ZA 2003-1703 20010914

ZA 2003001701 A 20040302 ZA 2003-1703 20010914

ZA 2003001701 A 20040302 ZA 2003-1703 20010914

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905 A1 20040520 US 2001-953671 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905 A1 20040624 US 2003-95367 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905 A1 20040301 ZA 2003-16697 20011219

ZA 2003001697 A 20040301 ZA 2003-16697 20010228

2003001699 A 20040301 ZA 2003-16699 20010228 PATENT NO. KIND APPLICATION NO. DATE

#### Page 20

L4	ANSWER 11 OF 13	CAPLUS	COPYRIGHT	2004 A	CS on STN	(Conti	nued)
	ZA 2003001702	A	20040301		2003-1702	(COILL	20030228
	ZA 2003001704	A	20040301		2003-1704		20030228
	ZA 2003001698	A	20040302		2003-1698		20030228
	NO 2003002704	A	20030821		2003 - 2704		20030613
	US 2004116454	Al	20040617		2003-692355		20031023
	US 2004157893	A1	20040812		2003-722374		20031125
	US 2004132781	A1	20040708	US	2003-736426		20031215
	US 2004167141	A1	20040826		2004-775699		20040210
PRIC	RITY APPLN. INFO.				2000-232795P	p	20000915
						-	200000
				us	2000-257887P	P	20001221
				us	2001-286949P	Þ	20010427
				US	2001-955601	<b>A</b> 3	20010914
				₩O	2001-US28740	W	20010914
				US	2001-26966	A1	20011219
				WO	2001-US49139	W	20011219
				wo	2001-US50312	w	20011219
				US	2001-34019	A3	20011220
				US	2001-34683	A1	20011220

OTHER SOURCE(S):

MARPAT 136:247579

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2.4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR9; Z7 = N or CR9; Z7

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404826-25-1 CAPLUS 1-Iaoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

17 404829-63-8P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin oline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin oline-1-yl]amine 404829-67-0P, (2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-67-0P, (2-trifluoromethylphenyl)[4]-4-yl] (1H-pyrazolo(4,3-b) pyridin-3-yl) amine 404829-63-2P, (1H-Indazol-3-yl)](2-(2-trifluoromethylphenyl) quinolin-4-yl]amine 404829-63-2P, (5,7-Difluoro-1H-indazol-3-yl)](2-(2-trifluoromethylphenyl) quinolin-4-yl] (1H-pyrazolo(4,3-b) pyridin-3-yl) amine 404829-63-2P 404838-64-69

404858-68-7P 404858-63-3P 404858-64-9P 404858-67-9P 404858-74-9P 404858-74-9P 404858-73-7P 404858-74-9P 404858-74-9P 404858-74-9P 404858-74-9P 404858-73-7P 404858-80-5P 404858-81-9P 404858-91-9P 40

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un) substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)20-2. C(R6)2MR6, CO, CO2, CR60CO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6CO, E(R6)2NR6CO, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6CONR6, C(R6)2NR6CONR6, O(R6)2NR6CONR6, OCOR , NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),
CON(R7)2,
or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (un)eubstituted aliph. group; or N(R6)2 = heterocyclyl
or heteroaryl; R9 = R, halo, OR,
COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and
pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH;
Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra =
halo, OR, COR, CO2R, COCOR, NO2. CN, SO2-2R, N(R4)2, COR(R4)2, SO3N(R4)2,
OCOR, NNACOR, etc.; R and R4 are defined abovel. Examples include data
for approx. 300 invention compds. prepd. by a variety of synthetic
methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 µM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 µM for Aurora-2.
404836-24-0P 404836-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Usea) (protein Kinase inhibitor; preparation of heterocyclylpyrazolamines IT and analogs as protein kinase inhibitors for treatment of cancer. diabetes

petes, and Alzheimer's digease) 404826-24-0 CAPLUS 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
404659-01-4P 404659-03-5P 404659-03-69P
404859-04-7P 404859-03-6P 404659-03-69P
404859-07-0P 404859-08-1P 404859-09-2P
404859-10-5P 404859-13-6P 404859-12-7P
404859-13-8P 404859-13-6P
404859-13-8P 404859-13-6P
404859-16-1P 404859-17-2P 404860-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses) (protein kinase inhibitor; prepn. of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's diaease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CADILIC

NR MORE TAULUMENTS COURSE 404829-65-8 CAPLUS 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-66-9 CAPLUS

ONE OF 4-Quinolinamine, N-1H-indazol-1-yl-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-67-0 CAPLUS CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

RN 404829-68-1 CAPLUS CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-64-6 CAPLUS
CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)(9C1) (CA INDEX NAME)

RN 404858-65-7 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
404829-69-2 CAPLUS
CN 4-Ouinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 404829-70-5 CAPLUS
CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 404858-63-5 CAPLUS
CN 4-Quinolinamine, N-{5-cyclopropyl-1H-pyrazol-3-yl}-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-66-8 CAPLUS
CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI)
(CA INDEX NAME)

RN 404858-67-9 CAPLUS
CN IH-Indazol-3-amine. N-[2,3-dimethyl-6-[2-(trifluoromethyl)phenyl]-4pyridinyll-(9CI) (CA INDEX NAME)

RN 404858-68-0 CAPLUS CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]-(9CI) (CA INDEX NAME) L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-69-1 CAPLUS
CN 1H-Indazol-3-amine, N-[2,3-dimethyl-6-[2-(trifluoromethyl)phenyl]-4pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 404858-70-4 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]-5-fluoro-(9C1) (CA INDEX NAME)

RN 404858-71-5 CAPLUS CN H-Indazol-3-amine, N-[2-methyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-[9CI] (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-76-0 CAPLUS CN H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 404858-77-1 CAPLUS
CN 1H-Indazol-3-amine, 5-fluoro-N-[2-phenyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyll- (9C1) (CA INDEX NAME)

RN 404858-78-2 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro[9C1] (CA INDEX NAME)

RN 404858-79-3 CAPLUS
CN 4-Quinolinamine, 5,6,7,8-tetrahydro-N-1H-indazol-3-yl-2-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

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L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-72-6 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 404858-71-7 CAPLUS
CN HH-Indazol-3-amine, 5-fluoro-N-[2-methyl-6-[2-(trifluoromethyl)phenyl]-4pyridinyll-(9C1) (CA INDEX NAME)

RN 404858-74-8 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chloropheny1)-6-methyl-4-pyridiny1]-5-fluoro(9C1) (CA INDEX NAME)

RN 404858-75-9 CAPLUS CN 1H-Indazol-3-amine, N-[2-phenyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-(9Cl) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-80-6 CAPLUS CN 4-Quinolinamine, 2-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-1H-indazol-3-yl-(9CI) (CA INDEX NAME)

RN 404858-81-7 CAPLUS
CN 4-Quinolinamine, N-{5-fluoro-lH-indazol-3-yl}-5,6,7,8-tetrahydro-2-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

RN 404858-82-8 CAPLUS
CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN tetrahydro- (9CI) (CA INDEX NAME)

404858-83-9 CAPLUS
1,8-Naphthyridin-4-amine,
-indazol-3-yl-2-[2-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

404858-84-0 CAPLUS
IH-Indazol-3-amine, N-[3,4-dimethyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (SCI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)-(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-88-4 CAPLUS CN 1-IBoquinolinamine, 3-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-89-5 CAPLUS
CN 1H-Indazol-3-amine, N-[6-{2-chloropheny1}-3,4-dimethyl-2-pyridinyl]-5fluoro- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-85-1 CAPLUS CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-(SCI)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-86-2 CAPLUS
CN 1H-Indazol-3-amine, N-[3,4-dimethyl-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]-5-fluoro- (9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-87-3 CAPLUS

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-90-8 CAPLUS
CN 1H·Indazol-3-amine,
N-[4-methyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl](9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-91-9 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl]- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-92-0 CAPLUS
CN 1H-Indazol-3-amine, 5-fluoro-N-[4-methyl-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-93-1 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl)-5-fluoro(9C1) (CA INDEX NAME)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404858-94-2 CAPLUS
1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl)-5-fluoro-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-95-3 CAPLUS
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404858-98-6 CAPLUS 1H-Inda201-3-amine, 5-fluoro-N-[4-phenyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-99-7 CAPLUS CN 1-180quinolinamine, 5,6,7,8-tetrahydro-N-1H-indazol-3-yl-3-[2-trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-00-3 CAPLUS
CN 1-leoquinolinamine, 3-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-1H-indazol-3yl- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DQUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-96-4 CAPLUS
CN 1H-Indazol-3-amine,
N-{4-phenyl-6-{2-(trifluoromethyl)phenyl}-2-pyridinyl}(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-97-5 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl]- (9CI)
(CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-01-4 CAPLUS
CN 1-locquinolinamine, N-(5-fluoro-1H-indazol-3-y1)-5,6,7,8-tetrahydro-3-[2(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404859-02-5 CAPLUS CN 1.6-Naphthyridin-5-amine, 7-(2-chlorophenyl)-N-1H-indazol-3-yl- (9CI) (CA

INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS On STN (Continued) ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404859-03-6 CAPLUS
CN 1,6-Maphthyridin-5-amine,
N-1H-indacol-3-yl-7-[2-(trifluoromethyl)phenyl](9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE ONE OF MONE THOUSERS BOUNDS BOILD STATE

N. 404859-04-7 CAPLUS

CN 2,6-Naphthyridin-1-amine,
N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl)
(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404859-05-8 CAPLUS

On 1,7-Naphthyridin-8-amine,
N-1H-indazol-3-yl-6-[2-(trifluoromethyl)phenyl][9C1] (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continue 404859-08-1 CAPLUS 2.4-Pyridinediamine, N4-{2-aminoethyl}-N2-1H-indazol-3-yl-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-09-2 CAPBUS
CN 1H-Indazol-3-amine, N-[4-{2-aminoethoxy}]-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (GCI INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

404859-10-5 CAPLUS
1H-Indazol-3-amine, N-[6-(2-chlorophenyl)[4,4'-bipyridin]-2-yl]- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404859-11-6 CAPLUS CN 1H-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-06-9 CAPELUS
CN 1H-Indazol-3-amine, N-{4-(1-piperidinyl)-6-{2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-07-0 CAPLUS
CN 1H-Indazol-3-amine, N-[4-(1-piperazinyl)-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN pyridinyl] - (9CI) (CA INDEX NAME) (Continued)

404859-12-7 CAPLUS 4-Pyridinamine, 2-cyclohexyl-N-(5-methyl-1H-pyrazol-3-yl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

40489-13-8 CAPLUS 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-piperidinyl)-6-{2-(trifluoromethyl)phenyll- (9CI) (CA INDEX NAME)

404859-14-9 CAPLUS

TH-Indazol-3-amine, N-[2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404859-15-0 CAPLUS 1,7-Naphthyridin-4-amine, N-(5-methyl-1H-pyrazol-3-yl}-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404859-16-1 CAPLUS
IH-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 404859-17-2 CAPLUS
CN 1,7-Maphthyridin-4-amine,
N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

ACCESSION NUMBER:
DOCUMENT NUMBER:
13 6232297

INVENTOR(5):

PATENT ASSIGNEE(5):
SOURCE:
PACTURE TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT.
FAMILY ACC. N

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	PATENT NO.			KIND DATE				APF	LICAT		DATE						
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NO.	2003	0001			A1		2003	0430		HR	2003-	140			2	0030	226
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									1	WO.	2001-	IB15	10		4 2	00108	324

OTHER SOURCE(S): MARPAT 136:232297

AB Pyrazole derivs. [I; wherein Rl = straight chain or branched (C1-C1)alkyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl,

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404860-48-6 CAPLUS 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(1-piperazinyl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

(Continued)

FORMAT

ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, or (5-11 membered) heterobicycloalkyl; R2 = H, F, -CH3, -CN, or carboxy; R3 = amide, carboxy, etc.: R4 = straight chain or -CHJ, -CN, Or Carboxy; Ks = amide, carboxy, etc.; R4 = straight chain or a branched (C1-C8)alkyl, (C2-C8)alkenyl, (C2-C8 alkynyl), (C3-C8)cycloalkyl, (C5-C8)cycloalkyl, (C3-C8)cycloalkyl, (C5-C11)bicycloalkyl, ketone was reacted with 4-nitrophenyl) isothiocyanate to give 53% 3-cyclobutyl-N-(4-nitrophenyl)-3-cxc-thiopropionamide, which was reacted with acetic acid, followed by anhyd. hydrazine to give 88% (5-cyclobutyl-N-Hyraxol-3-yl)-(4-nitrophenyl)amine.

The prepd. compds. are indicated to have activity inhibiting cdk2, cdk5, and GSK-3. In fact, all of the title compds. had an IC50 inhibiting peptide substrate phosphorylation of <50 µM when assayed for cdk5 inhibition, and several had an IC50 for inhibition of GSK-3ß of < S0 µM characters.

(preparation of pyrazole derivs. and use as protein kinase inhibitors) 403595-56-2 CAPLUS quasub=56-2 CAPLUS
2-Pyridinamine, N-(5-cyclobutyl-1H-pyrazol-3-yl)-6-{trifluoromethyl}(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 403595-63-1 CAPLUS
CN 2,6-Pyridinediamine, N'-(5-cyclobutyl-1H-pyrazol-3-yl)-N,N-dimethyl-(CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 403595-64-2 CAPLUS CN 2PPIdinamine, N-(5-ethyl-1H-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 403595-65-3 CAPLUS
CN 2-Pytridinamine N./e ----.

2-Pyridinamine, N-(5-cyclobutyl-1H-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 403597-00-2 CAPIUS

CN 2-Pyridinamine, 6-methoxy-N-[5-[cia-1-(2-methoxyphenyl)cyclobutyl]-1Hpyrazol-3-yl]- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 1974:3518 CAPLUS COPYRIGHT 2004 ACS ON STN 1974:3518 CAPLUS CAPL 80:3518 SubBrituted 4-(indazolamino)quinolines Wasley, Jan W. F.; Wajngurt, Abraham Ciba-Geigy Corp. U.S., 15 pp. CODEN: USXXAM

PATENT ASSIGNEE (S):

SOURCE: DOCUMENT TYPE:

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 3755332 PRIORITY APPLN. INFO.: 19730828 US 1971-159061 US 1968-725176 19710701 19680429

US 1969-818044 19690421

US 1969-818044 19690421

For diagram(s), see printed CA Issue.

About 45 quinolinaminoindazoles I (R = H, Me, CO2H, Ph, etc.; R1 = H, CO2EL; R2 = H, 7-F3C, 7-C1; R3 = H, Me; R4 = H, 3-C1; the quinolinamino group attached at the 3, 5, and 6 position of the indazole) were prepared Thus, 6-aminoindazole was treated with 4,7-dichloroquinoline to give I (R = R1 = R3 = R4 = H, R2 = C1, the quinolinamino group attached at the 6-position of the indazole). I were antiinflammatory, antihypertensive, and antimalarial at 10-400 mg/kg.

50592-90-00 50592-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

50592-90-0 CAPLUS

4-Quinolinamine, N-1H-indazol-3-yl-2-methyl- (9CI) (CA INDEX NAME)

50592-91-1 CAPLUS 4-Quinolinamine, N-(6-chloro-1H-indazol-3-y1)-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

10/736,426

Page 3

G1:C,O,S,N,Cb,Ak

G2:C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 15:CLASS 16:Atom 17:Atom

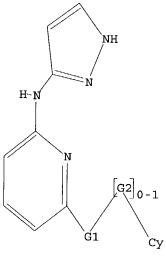
Ll STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

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STR



G1 C, O, S, N, Cb, Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:43:58 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 112 TO ITERATE

100.0% PROCESSED

112 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

1606 TO 2874

PROJECTED ANSWERS:

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FULL SEARCH INITIATED 13:44:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -2199 TO ITERATE

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10/736,426

Page 4

100.0% PROCESSED 2199 ITERATIONS

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SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

ENTRY 155.42

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FILE 'CAPLUS' ENTERED AT 13:44:11 ON 05 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15 FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2004:370926 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

140:391292
Preparation of indazolinone compositions useful as kinase inhibitors
Aronov, Alex; Lauffer, David J.; Li, Huan Qui; Tomlingon, Ronald Charles; Li, Pan
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 260 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATEN	I INFO	rum I	OM:																
	PATENT NO.						DATE			APPL	I CAT	ION :	NO.		DATE				
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	WO 200	O 2004037814			A1		2004	0506		WO 2	003-	US34	065		20031027				
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		GM,	HR,	HU,	ID,	IL,	IN,	15,	JP,	KE,	KG,	KP,	KR,	KZ,	L¢,	LK,	LR		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA		
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TM																			
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OTHER SOURCE(S): MARPAT 140:391292

The present invention provides compds. of formula (I). (Wherein R1, R2  $\tt w$  H or a nitrogen protecting group; one of R3 or R4  $\tt w$  R and the other one

R3 or R4 = -01-A-Q2-Y; wherein Q1 a valence bond, NRa, C(Ra)2, S, O, SO2, NRaSO2, SO2NRa, CO, NRaCO, CONRa, CC(O), C(O)O, OC(O)NRa, 1,2-cyclopropanedily1, 1,2-cyclobutanediy1, or 1,3-cyclobutanediy1, optionally substituted C2-4 alkylidene, etc.; wherein Ra = M, each

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 65567-15-6 CAPLUS ON 3H-INGAZO1-3-0-6 (\*\*)

SHOULD THE THE TOWNS TO BE SHOULD NOT DEFENTED IT THE STYLETING 3-THE STYLETIN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 685867-16-7 CAPLUS
CN 38-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2pyridinyl}amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) optionally substituted C1-4 sliph.; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRC, SO,

heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRC, SO, O, Or C(RC)2; wherein Rc = H, optionally substituted C1-4 aliph; Y = each optionally substituted C1-5 to 7-membered monocyclic or 8-to 10 membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CR6; wherein R6 = R; R = H, halo, O-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by Q, S, NRT, NRTCQ, NRTCONRT, NRTCQ2, CO, CO2, CONRT, OC(O)NRT, SO2, SO2NRT, NRTSO2, NRTSO3NRT, C(O)C(O), or C(O)C(RT)2C(O); wherein R7 = H, each optionally substituted aliph., heteroaliph., aryl or heteroaryl]. The compds. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase CRC2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase CSK2, and protein kinase Aurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, inflammatory diseases, allergic diseases, autoimmune diseases, inflammatory diseases, allergic diseases, and incompns. In infections

inflammatory diseases, allergic diseases, autoimmune diseases, destructive home disorders such as osteoporosis, proliferative disorders, infectious diseases, and viral diseases. Thus, a soln. of (2-chloroquinazolin-4-yl)(5-cyclopropyl-1H-pyrazol-3-yl)amine (50.0 mg, 0.175 mmol) and 6-amino-3-oxo-2,3-dihydroindazole-1-carboxylic acid tert-Bu enter (69.8 mg, 0.280 mmol) in NMP (1.0 mL) was heated up to 100° for 6 h to give, after workup, acidification with CPFCO2H, and HPLC purifin. 6-[[4-(5-cclopropyl-1H-pyrazol-3-yl)]amino]quinazolin-2-yl]amino]-1,2-dihydroindazol-3-one trifluoroacetate. Some compds. of the formula I were

shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

G\$5867.11-4P, 6-{[6-([6-Cyclopropyl-1H-pyrazol-3-yl)amino}-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-6P,
6-[[6-([5-Cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-7P, 6-[[6-Amino-6-[(5-

cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl}amino]-1,2-dihydroindazol-3-

one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of indazolinone derivs. as kinase inhibitors for

[preparation of inmazzinence described by treating or interesting of diseases or conditions]

RN 665867-13-4 CAPKUS

CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 13:41:02 ON 05 OCT 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8 DICTIONARY FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

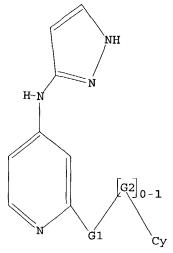
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\10736426bb.str

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



G1 C, O, S, N, Cb, Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

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Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:41:26 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 215 TO ITERATE

100.0% PROCESSED 215 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

3421 TO 5179

PROJECTED ANSWERS:

O TO 0

0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:41:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4063 TO ITERATE

100.0% PROCESSED 4063 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3

0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL SESSION

FULL ESTIMATED COST

155.42

155.63

STN INTERNATIONAL LOGOFF AT 13:41:47 ON 05 OCT 2004